Artesunate

Group: antimalarial agent
Tablet 50 mg (artesunate)
Powder for injection 60 mg of anhydrous artesunate in 1-ml ampoule + 5% sodium bicarbonate in 0.6-ml ampoule

General information

Artesunate is a water-soluble hemisuccinate derivative of artemisinin. It is unstable in neutral solution and the injectable formulation must be prepared immediately before use in 5% (w/v) sodium bicarbonate solution to produce the salt sodium artesunate. After parenteral administration, it is rapidly hydrolysed to the active metabolite dihydroartemisinin. The oral formulation is probably hydrolysed completely before entering the systemic circulation.

Artesunate has been reported to clear fever in patients with severe falciparum malaria 16-25 hours after parenteral administration.

Clinical information

Uses

• Orally: treatment of uncomplicated falciparum malaria in areas where there is evidence of chloroquine, pyrimethamine/sulfadoxine, mefloquine and quinine resistance. It should always be administered together with mefloquine in full therapeutic dose.

• Parenterally: treatment of severe falciparum malaria in areas where there is evidence of quinine resistance. Radical cure is then effected with a full course of an effective oral antimalarial.

Dosage and administration

Oral administration

Adults and children over 6 months: 5 mg/kg orally on the first day followed by 2.5 mg/kg on the second and third days in combination with mefloquine (15 mg/kg) in a single dose on the second day. In a few areas, a higher dose (25 mg/kg) of mefloquine may be required for a cure to be obtained.

Parenteral administration

The powder for injection should be reconstituted with 5% sodium bicarbonate and diluted in an equal volume of physiological saline or 5% (w/v) glucose. It should be administered immediately by either intravenous or intramuscular injection.

A loading dose of 2 mg/kg should be followed by 1 mg/kg after 4 hours and 24 hours. Thereafter a dose of 1 mg/kg should be given daily until the patient is able to tolerate oral artesunate or for a maximum of 7 days.

Contraindications

Oral artesunate should not be used during the first trimester of pregnancy.

Precautions

Parenteral artesunate should be used for the treatment of severe falciparum malaria only where there is evidence that the antimalarial efficacy of quinine is declining.

The powder for injection is difficult to dissolve and care should be taken to ensure that it is completely dissolved before parenteral administration. It should always be used immediately following reconstitution. If the solution is cloudy or a precipitate is present, the parenteral preparation should be discarded.

Use in pregnancy

Little experience has been gained with the use of this drug in pregnancy but the parenteral preparation should not be withheld if it is considered life-saving to the mother.

Adverse effects

Drug-induced fever can occur.

Neurotoxicity has been observed in animal studies but not in humans. In view of the uncertainty about toxic effects, caution should be exercised when more than one 3-day treatment is given.

Cardiotoxicity has been observed following administration of high dose